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(74) Agent: LEE, Christine, S.; Morgan, Lewis & Bockius  
LLP, 1800 M. Street, N.W., Washington, DC 20036-5869  
(US).

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(71) Applicant: COR THERAPEUTICS INC. [US/US]; 256  
E. Grand Avenue, South San Francisco, CA 94080 (US).

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(54) Title: INHIBITORS OF FACTOR XA

(57) Abstract: Compounds of formula A Q D E G J X in which D is a direct link, a substituted or unsubstituted phenyl or naphthyl group or a heterocyclic ring system; G is substituted or unsubstituted phenyl or a heterocyclic ring system; X is a substituted or unsubstituted phenyl or naphthyl group or a heterocyclic ring system; and the other variables are as defined in the claims, their salts and compositions related thereto having activity against mammalian factor Xa are disclosed. The compounds are useful in vitro or in vivo for preventing or treating coagulation disorders.

# INTERNATIONAL SEARCH REPORT

International Application No PCT/US 00/25195
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**A. CLASSIFICATION OF SUBJECT MATTER**

IPC 7 C07D231/14 C07D277/56 C07D401/12 C07D403/12 C07D417/04  
A61K31/33 A61P7/04

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 C07C C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

BEILSTEIN Data, WPI Data, EPO-Internal, PAJ, CHEM ABS Data

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 98 28269 A (DU PONT MERCK PHARMACEUTICAL) 2 July 1998 (1998-07-02) page 3 -page 9; examples; table 1A ---	1-4, 6, 21-36
X	WO 98 28282 A (DU PONT MERCK PHARMACEUTICAL) 2 July 1998 (1998-07-02) page 3 -page 9; examples; table 1 ---	1-4, 21-36
X	WO 98 57934 A (DU PONT MERCK PHARMACEUTICAL) 23 December 1998 (1998-12-23) page 2 -page 8; examples; table 1 ---	1-3, 21-36
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Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

\* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
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- \*&\* document member of the same patent family

Date of the actual completion of the international search

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Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040. Tx. 31 651 epo nl.  
Fax: (+31-70) 340-3016

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## INTERNATIONAL SEARCH REPORT

International Application No PCT/US 00/25195
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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	H. SUZUKI, ET AL.: "Selective reduction with lithium aluminium hydride / diphosphorus tetraiodide. A mild conversion of aromatic ketones to parent hydrocarbons" CHEMISTRY LETTERS, no. 6, June 1983 (1983-06), pages 909-910, XP002161110 Chemical Society of Japan, Tokyo, JP ISSN: 0366-7022 table 1, entry 5 ---	1
X	"Dictionary of Organic Compounds, 5th Ed., Vol. 5" 1982 , CHAPMAN AND HALL , NEW YORK, US XP002161122 157909 compounds T-00160, T-00161, T-00162 page 5119 ---	1
X	Q.-Y. CHEN, ET AL.: "Photo-induced electron-transfer reaction of aryl perfluoroalkanesulphonates with anilines" JOURNAL OF FLUORINE CHEMISTRY, vol. 66, no. 1, January 1994 (1994-01), pages 59-62, XP002161119 Elsevier Science Publishers, Amsterdam, NL ISSN: 0022-1139 compounds 3a-e,4a-e ---	1
X	T. KEUMI, ET AL.: "2-(Trifluoromethylsulphonyloxy)pyridine as a reagent for the ketone synthesis from carboxylic acids and aromatic hydrocarbons" BULLETIN OF THE CHEMICAL SOCIETY OF JAPAN, vol. 61, no. 2, February 1988 (1988-02), pages 455-459, XP002161120 Japan Publications Trading Co., Tokyo JP ISSN: 0009-2673 table 1, entry 13, product ---	1
X	H.J SPIE, ET AL.: "An improved synthesis of aryl sulphones" SYNTHESIS, no. 3, March 1984 (1984-03), pages 283-284, XP002161121 Georg Thieme Verlag, Stuttgart, DE ISSN: 0039-7881 compound 3c -----	1

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-4 (in part)

The initial phase of the search revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which part(s) of the claim(s) may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT).

For these reasons it appears impossible to execute a meaningful search and /or to issue a complete search report over the whole breadth of the claim(s). The search and the report for those claims can only be considered complete for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds as indicated in the relevant examples (1-146) and claims 5-20.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 00/25195

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
WO 9828269	A 02-07-1998	AU 5602098 A CN 1246847 A EP 0946508 A HR 970698 A LT 99076 A,B LV 12430 A LV 12430 B NO 992633 A PL 334250 A SI 20017 A US 6020357 A BR 9714073 A		17-07-1998 08-03-2000 06-10-1999 31-10-1998 25-02-2000 20-02-2000 20-07-2000 20-08-1999 14-02-2000 29-02-2000 01-02-2000 09-05-2000
WO 9828282	A 02-07-1998	AU 6645998 A EP 0946528 A		17-07-1998 06-10-1999
WO 9857934	A 23-12-1998	AU 7976998 A EP 0993448 A		04-01-1999 19-04-2000